

10/668,982

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal201txs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/Caplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17	FRFULL now available on STN
NEWS	21	May 27	STN User Update to be held June 7 and June 8 at the SLA 2004 Conference
NEWS	22	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in Caplus
NEWS	23	May 27	Caplus super roles and document types searchable in REGISTRY
NEWS	24	May 27	Explore APOLLIT with free connect time in June 2004
NEWS	EXPRESS		MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS	HOURS		STN Operating Hours Plus Help Desk Availability
NEWS	INTER		General Internet Information
NEWS	LOGIN		Welcome Banner and News Items
NEWS	PHONE		Direct Dial and Telecommunication Network Access to STN
NEWS	WWW		CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:57:38 ON 02 JUN 2004

=> f reg

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> f reg

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> f reg

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=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.84

0.84

FILE 'REGISTRY' ENTERED AT 15:00:07 ON 02 JUN 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 JUN 2004 HIGHEST RN 688308-86-3

DICTIONARY FILE UPDATES: 1 JUN 2004 HIGHEST RN 688308-86-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

10/668,982

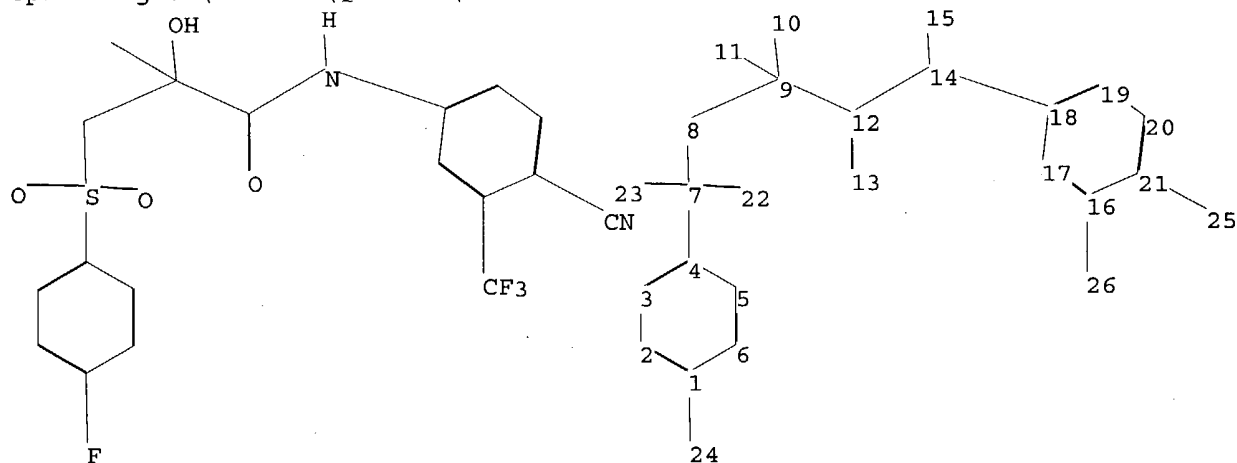
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\STNEXP4\QUERIES\10668982.str



chain nodes :

7 8 9 10 11 12 13 14 15 22 23 24 25 26

ring nodes :

1 2 3 4 5 6 16 17 18 19 20 21

chain bonds :

1-24 4-7 7-8 7-22 7-23 8-9 9-10 9-11 9-12 12-13 12-14 14-15 14-18
16-26 21-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

4-7 7-8 7-22 7-23 9-10 12-13 12-14 14-18

exact bonds :

1-24 8-9 9-11 9-12 14-15 16-26 21-25

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 : 16 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

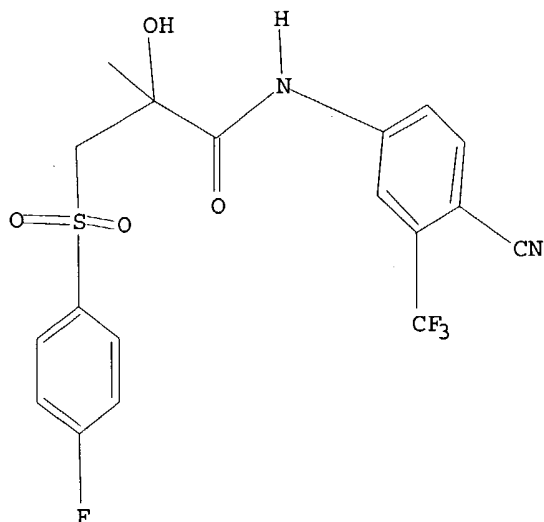
L1 STRUCTURE UPLOADED

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=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:00:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1 TO 80
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 15:00:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS
SEARCH TIME: 00.00.01

6 ANSWERS

L3 6 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

155.84

156.68

FILE 'CAPLUS' ENTERED AT 15:01:02 ON 02 JUN 2004

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FILE COVERS 1907 - 2 Jun 2004 VOL 140 ISS 23
FILE LAST UPDATED: 1 Jun 2004 (20040601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 369 L3

=> s l4 and(prepar? or make or made or sythesi? or method)

1471375 PREPAR?

110000 PREP

1941 PREPS

111747 PREP

(PREP OR PREPS)

1865282 PREPD

21 PREPDS

1865297 PREPD

(PREPD OR PREPDS)

96417 PREPG

12 PREPGS

96428 PREPG

(PREPG OR PREPGS)

2475946 PREPN

194896 PREPNS

2623804 PREPN

(PREPN OR PREPNS)

4343403 PREPAR?

(PREPAR? OR PREP OR PREPD OR PREPG OR PREPN)

190254 MAKE

145643 MAKES

326948 MAKE

(MAKE OR MAKES)

1096459 MADE

24 MADES

1096479 MADE

(MADE OR MADES)

32 SYTHESI?

2613960 METHOD

1102412 METHODS

3407028 METHOD

(METHOD OR METHODS)

L5 175 L4 AND(PREPAR? OR MAKE OR MADE OR SYTHESI? OR METHOD)

=> s l5 and butyl lithium

236648 BUTYL

29 BUTYLS

10/668,982

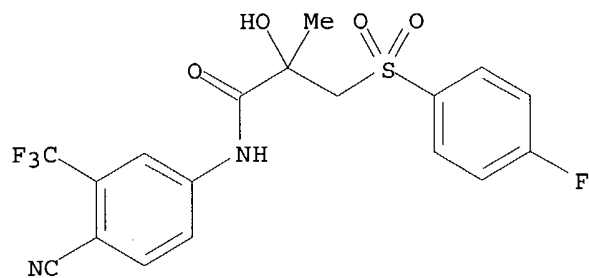
236661 BUTYL
(BUTYL OR BUTYLS)
276785 LITHIUM
352 LITHIUMS
276911 LITHIUM
(LITHIUM OR LITHIUMS)
1374 BUTYL LITHIUM
(BUTYL(W)LITHIUM)
L6 2 L5 AND BUTYL LITHIUM

=> d l6 ibib hitstr abs 1-2

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:964133 CAPLUS
DOCUMENT NUMBER: 138:24551
TITLE: **Preparation of rac-bicalutamide**
INVENTOR(S): Dolitzky, Ben-Zion; Reany, Ofer; Shamai, Jenny
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva
Pharmaceuticals USA, Inc.; Biogal Gyogyszergyar
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100339	A2	20021219	WO 2002-US18329	20020613
WO 2002100339	A3	20031016		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1406855	A2	20040414	EP 2002-739801	20020613
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2001-298009P	P 20010613
			US 2002-371069P	P 20020409
			WO 2002-US18329	W 20020613
OTHER SOURCE(S):	CASREACT 138:24551			
IT	90357-06-5P, Bicalutamide 113299-38-0P			
	113299-40-4P			
RL:	SPN (Synthetic preparation); PREP (Preparation)			
	(preparation of rac-bicalutamide)			
RN	90357-06-5 CAPLUS			
CN	Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)			

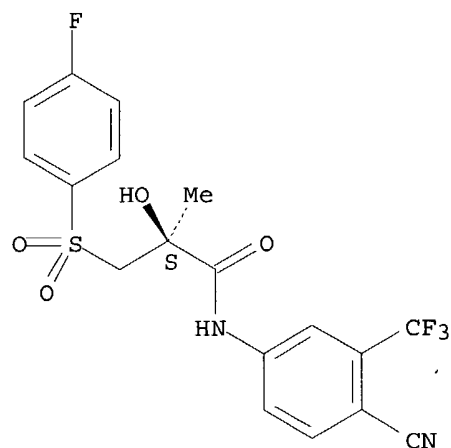
10/668,982



RN 113299-38-0 CAPLUS

CN Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

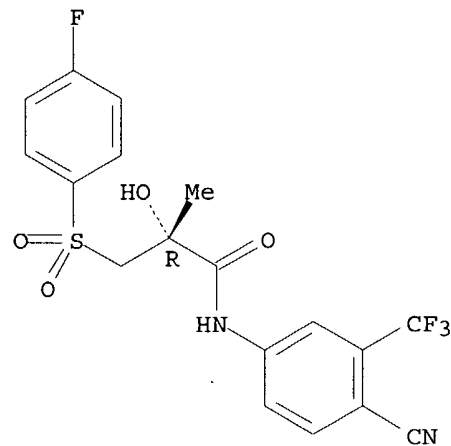
Absolute stereochemistry. Rotation (+).



RN 113299-40-4 CAPLUS

CN Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AB Racemic and optically active N-[4-cyano-3-trifluoromethylphenyl]-3-[4-fluorophenylsulfonyl]-2-hydroxy-2-Me propionamide (bicalutamide) were **prepared** starting from Et pyruvate and Me methacrylate. Thus, 5-amino-2-cyanobenzotrifluoride was treated with DABCO and reacted with deprotonated ethyl-[2-(4-fluorophenyl sulfone)]-2-hydroxy propionate (**prepared** from Et pyruvate) to give %40 rac-bicalutamide. Micronized particles of rac-bicalutamide can be obtained as pharmaceutical compns. that are useful for its anti-androgen activity (no data). Bicalutamide intermediates were also **prepared**, including ethyl-[2-(4-fluorophenyl sulfone)]-2-hydroxy propionate, 1,2-epoxy-2-Me propionate and 2-hydroxy-2-methyl-3-(4-fluorophenylthio) propionic acid.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:240719 CAPLUS

DOCUMENT NUMBER: 136:262992

TITLE: Process for the **preparation** of
N-(substituted phenyl)-3-alkyl-, aryl- and
heteroarylsulfonyl-2-hydroxy-2-alkyl- and
haloalkylpropanamide antiandrogenic compounds
INVENTOR(S): Chen, Bang-Chi; Sundeen, Joseph E.; Zhao, Rulin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024638	A1	20020328	WO 2001-US42171	20010917
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002086902	A1	20020704	US 2001-953759	20010917
US 6562994	B2	20030513		
EP 1322603	A1	20030702	EP 2001-975752	20010917
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004509164	T2	20040325	JP 2002-529051	20010917
PRIORITY APPLN. INFO.:			US 2000-234121P P	20000921
			WO 2001-US42171 W	20010917

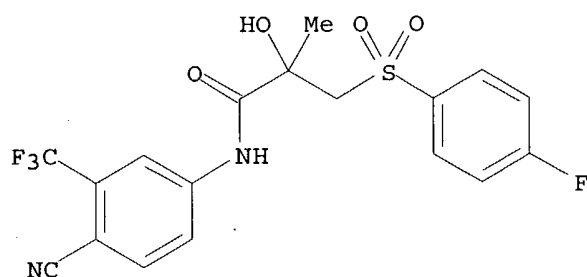
OTHER SOURCE(S): CASREACT 136:262992; MARPAT 136:262992

IT 90357-06-5P, Bicalutamide

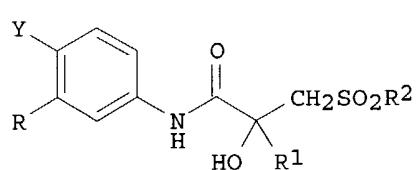
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the **preparation** of N-(substituted phenyl)-3-alkyl-,
aryl- and heteroarylsulfonyl-2-hydroxy-2-alkyl- and
haloalkylpropanamide antiandrogenic compds.)

RN 90357-06-5 CAPLUS

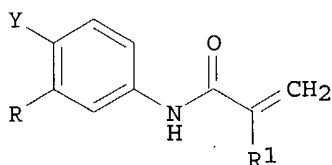
CN Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



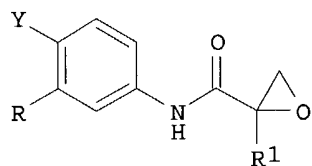
GI



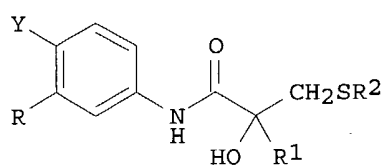
I



II



III



IV

AB The title compds. [I; Y = cyano, nitro, perfluoroalkyl, alkylcarbonyl, alkoxy, alkylsulfonyl; R = perfluoroalkyl, cyano, nitro, alkylcarbonyl, alkoxy, alkyl, alkoxy; R1 = (halo)alkyl; R2 = alkyl, aryl, heteroaryl; e.g., bicalutamide], useful for the treatment of androgen-mediated diseases (no data), are **prepared** without the use of chromatog. sepns. and expensive starting materials by phenylating propenamides $\text{H}_2\text{NCOC}(\text{R}_1):\text{CH}_2$ (e.g., methacrylamide) with leaving group-substituted benzenes 1,2,4- $\text{C}_6\text{H}_3\text{Y}(\text{R})\text{X}$ (X = F, Cl, Br, I, SO_3R_3 ; R3 = alkyl, aryl; e.g., 4-fluoro-2-trifluoromethylbenzonitrile) so as to form a N-phenyl-substituted propenamides [II; e.g., N-[4-Cyano-3-(trifluoromethyl)phenyl]methacrylamide] which are then oxidized into the corresponding epoxides [III; e.g., N-[4-Cyano-3-(trifluoromethyl)phenyl]methacrylamide epoxide], converted into thioethers [IV; e.g., N-[4-Cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenylthio)-2-hydroxy-2-methylpropanamide] by reaction with mercaptans R_2SH (e.g., 4-fluorothiophenol), and then oxidized into their corresponding sulfones.

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

10/668,982

FULL ESTIMATED COST	24.42	181.10
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.39	-1.39

STN INTERNATIONAL LOGOFF AT 15:03:44 ON 02 JUN 2004